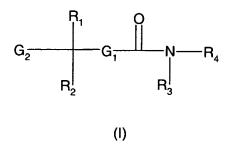
## **AMENDMENTS TO THE CLAIMS**

## **IN THE CLAIMS**:

This listing of claims will replace all prior versions and listings of claims in the application.

Please amend the claims as follows:

1. (Previously presented) A compound of Formula (I):



wherein

 $G_1$  is  $(CH_2)_k$ , where k is 0 to 3;

G<sub>2</sub> is

- a) hydrogen
- b)  $C_{1-6}$  alkyl;
- c) -aryl;
- d)  $-C_{1-6}$  alkylaryl;
- e)

where  $R_5$  and  $R_6$  are independently selected from the group consisting of

i) –H;

ii)  $-C_{1-6}$  alkyl;

iii) -aryl;

iv) -C<sub>1-6</sub> alkylaryl;

v)  $-C(O)-O-C_{1-6}$  alkyl;

vi)  $-C(O)-O-C_{1-6}$  alkylaryl;

vii) -C(O)-O-C<sub>1-6</sub> alkylcycloalkylaryl;

viii) -C(O)-NH-C<sub>1-6</sub> alkyl;

ix)  $-C(O)-NH-C_{1-6}$  alkylaryl;

x)  $-SO_2-C_{1-6}$  alkyl;

xi) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;

xii) -SO<sub>2</sub>-aryl;

xiii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;

xiv) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;

xv) 
$$NR_7$$
 NHR<sub>8</sub>

xvi)  $-C(O)-C_{1-6}$  alkyl; and

xvii) -C(O)-C<sub>1-6</sub> alkylaryl; or

f) a group of the formula

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 4 of 44

## wherein

# $R_{9}$ , $R_{10}$ , and $R_{11}$ are independently selected from the group

# consisting of

- i) -hydrogen;
- ii) -C<sub>1-6</sub> alkyl;
- iii) -aryl;
- iv) -C<sub>1-6</sub> alkylaryl;
- v)  $-C(O)-O-C_{1-6}$  alkyl;
- vi)  $-C(O)-O-C_{1-6}$  alkylaryl;
- vii) -C(O)-NH-C<sub>1-6</sub> alkyl;
- viii) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- ix)  $-SO_2-C_{1-6}$  alkyl;
- x)  $-SO_2-C_{1-6}$  alkylaryl;
- xi) -SO<sub>2</sub>-aryl;
- xii)  $-SO_2$ -NH-C<sub>1-6</sub> alkyl;
- xiii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- xiv)  $-C(O)-C_{1-6}$  alkyl; and
- xv)  $-C(O)-C_{1-6}$  alkylaryl; or

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 5 of 44

 $R_{10}$  and  $R_{11}$  are taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which  $R_{10}$  and  $R_{11}$  are bonded;

 $R_1$  is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -aryl; or
- d) -C<sub>1-6</sub> alkylaryl;

 $R_2$  is

- a)  $-C_{1-6}$  alkyl;
- b) -aryl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) a group of the formula

$$Q_1$$
  $(CH_2)n$   $(CH_2)m$ 

wherein m and n are independently selected from 1, 2, 3, or 4; X is a direct bond,  $CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -C(O)-, -NHC(O)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

-Q<sub>1</sub>- is C<sub>1-6</sub> alkylene, C<sub>2-6</sub> alkenylene, or C<sub>2-6</sub> alkynylene;

R<sub>3</sub> is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> is

a) 
$$-C_1-C_6$$
-alkyl-NR<sub>14</sub>R<sub>15</sub>

b) 
$$-C_1-C_6$$
-alkyl $-O$   $\leftarrow$   $-C_1-C_6$ -alkyl $-NR_{14}R_{15}$  ; or

c) 
$$L-C_1-C_6$$
-alkyl- $NR_{14}R_{15}$ 

wherein L is -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 7 of 44

 $R_{36}$  and  $R_{37}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl

 $R_{12}$  and  $R_{13}$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$  alkylaryl, and aryl;

 $R_{40}$  and  $R_{41}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl, and  $C_1$ - $C_6$  alkylaryl; and

wherein

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 8 of 44

the aryl and/or alkyl group(s) in R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;
  - $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W are independently selected from the group consisting of -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl; and

c) halogen, hydroxyl, cyano, carbamoyl, and carboxyl; and

 $R_{14}$  and  $R_{15}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl, and  $C_1$ - $C_6$  alkylaryl; or

 $R_{14}$  and  $R_{15}$  are taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -C(O)-, -C(O)-, -NHC(O)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

 $R_{19}$  and  $R_{20}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl, and  $C_1$ - $C_6$  alkylaryl.

## 2. (Previously Presented) The compound of claim 1, represented by Formula (Ia)

$$R_{23}$$
 $R_{24}$ 
 $R_{25}$ 
 $R_{24}$ 
 $R_{25}$ 
 $R_{25}$ 
 $R_{26}$ 
 $R_{27}$ 
 $R_{28}$ 
 $R_{29}$ 
 $R_{29}$ 

wherein  $G_1$  is a direct bond;

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 10 of 44

$$G_2 \text{ is}$$
 $\begin{array}{c}
R_5 \\
\\
\\
\\
\\
\end{array}$ 

 $R_1$  is H;

() is a -CH<sub>2</sub>- group or a direct covalent bond, and x and w are independently equal to 0 to 2, with the proviso that x and w can not both be equal to 0;

R<sub>3</sub> is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d)  $-C_{1-6}$  alkoxyaryl;

R<sub>4</sub> is

a) 
$$-C_1-C_6$$
-alkyl-NR<sub>14</sub>R<sub>15</sub>

b) 
$$-C_1-C_6$$
-alkyl $-O$   $-C_1-C_6$ -alkyl $-NR_{14}R_{15}$  ; or

c) 
$$L-C_1-C_6$$
-alkyl- $NR_{14}R_{15}$ 

wherein L is -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-, .

 $R_{36}$  and  $R_{37}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl

R<sub>6</sub> is

- a) -H;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or
- e) a group selected from  $-C(O)R_{25}$ ,  $-C(O)OR_{25}$ ,  $-C(O)NR_{26}R_{25}$ ,  $-S(O)_2R_{25}$ , and  $-S(O)_2NR_{26}R_{25}$ ; wherein  $R_{25}$  and  $R_{26}$  independently are  $-C_{1-6}$  alkylaryl;

R<sub>5</sub> and R<sub>2</sub> are taken together to form a ring of structure

wherein  $R_{21}$ ,  $R_{22}$ ,  $R_{23}$  and  $R_{24}$  independently are

- i) -H;
- ii)  $-C_{1-6}$  alkyl;
- iii) -aryl;

iv) -C<sub>1-6</sub> alkylaryl; or

v) a group of the formula  $-U-R_{27}$ , wherein U is -C(O)-, -C(O)O-, -O-, -S-, -S(O)-,  $-S(O)_2-$ , or  $-NR_{28}-$ ,

wherein  $R_{27}$  and  $R_{28}$  independently are -H, -aryl, - $C_{1-6}$  alkylaryl;

the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, and R<sub>6</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to the groups:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;
  - $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W independently are  $-CH_2$ -, -O-, -N(H), -S-,  $SO_2$ -, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-,  $-NHSO_2NH$ -, -O-CO-,

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 13 of 44

R<sub>14</sub> and R<sub>15</sub> independently are hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; or wherein

 $R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O-C(O)-,  $-NHSO_2NH$ -,

 $R_{19}$  and  $R_{20}$  are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl.

3. (Previously Presented) The compound of claim 1, represented by Formula (Ib)

wherein, G<sub>1</sub> is a direct bond;

$$\begin{array}{ccc}
& R_5 \\
 & \\
G_2 \text{ is}
\end{array}$$

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 14 of 44

#### $R_1$ is H;

() is a -CH<sub>2</sub>- group or a direct covalent bond, and y and z are, independently, an integer of from 0 to 3;

#### R<sub>3</sub> is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d)  $-C_{1-6}$  alkoxyaryl;

## R<sub>6</sub> is

- a) -H;
- b)  $-C_{1-6}$  alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or
- e) a group selected from  $-C(O)R_{25}$ ,  $-C(O)OR_{25}$ ,  $-C(O)NR_{26}R_{25}$ ,  $-S(O)_2R_{25}$ , and  $-S(O)_2NR_{26}R_{25}$ ; wherein  $R_{25}$  and  $R_{26}$  independently are  $-C_{1-6}$  alkylaryl;

the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, and R<sub>6</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 15 of 44

 $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W independently are  $-CH_2$ -, -O-, -N(H), -S-,  $SO_2$ -, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-,  $-NHSO_2NH$ -, -O-CO-,

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  are hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

 $R_{14}$  and  $R_{15}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl; and wherein

 $R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O-C(O)-,  $-NHSO_2NH$ -,

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 16 of 44

 $R_{19}$  and  $R_{20}$  are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl;

R<sub>5</sub> and R<sub>2</sub> are taken together to form a ring of structure

$$R_{29}$$
 ()y

wherein R<sub>29</sub> and R<sub>30</sub> independently are

- a) -H
- b)  $-C_{1-6}$  alkyl;
- c) -aryl;
- d)  $-C_{1-6}$  alkylaryl;
- e)  $-C(O)-O-C_{1-6}$  alkyl;
- f)  $-C(O)-O-C_{1-6}$  alkylaryl;
- g)  $-C(O)-NH-C_{1-6}$  alkyl;
- h) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- i)  $-SO_2-C_{1-6}$  alkyl;
- j)  $-SO_2-C_{1-6}$  alkylaryl;
- k) -SO<sub>2</sub>-aryl;
- l)  $-SO_2$ -NH-C<sub>1-6</sub> alkyl;
- m) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- n)  $-C(O)-C_{1-6}$  alkyl;
- o)  $-C(O)-C_{1-6}$  alkylaryl; or
- p) a group of the formula  $-V-R_{31}$ , wherein V is a group of the formula -C(O), -OC(O)-, -O-, -S-, -S(O)-,  $-S(O_2)$ -, -NH-, or  $-N(R_{32})$ -;

wherein  $R_{31}$  and  $R_{32}$  are

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 17 of 44

```
-H
i)
          -C_{1-6} alkyl;
ii)
iii)
          -aryl;
iv)
          -C<sub>1-6</sub> alkylaryl;
          -C(O)-O-C_{1-6} alkyl;
v)
vi)
          -C(O)-O-C_{1-6} alkylaryl;
          -C(O)-NH-C_{1-6} alkyl;-C(O)-NH-C_{1-6} alkylaryl;
vii)
viii)
          -SO_2-C_{1-6} alkyl;
          -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
ix)
x)
          -SO<sub>2</sub>-aryl;
          -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
xi)
          -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
xii)
          -C(O)-C<sub>1-6</sub> alkyl; or
xiii)
```

wherein R<sub>29</sub>, R<sub>30</sub>, R<sub>31</sub>, and R<sub>32</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

 $-C(O)-C_{1-6}$  alkylaryl;

xiv)

a) -H;

```
b) -L-C<sub>1-6</sub> alkyl;
-L-aryl;
-L-C<sub>1-6</sub> alkylaryl;
-L-C<sub>1-6</sub>-alkyl-NR<sub>33</sub>R<sub>34</sub>;
-L-C<sub>1-6</sub> alkyl-Q<sub>2</sub>-R<sub>35</sub>;
wherein L and Q<sub>2</sub> independently are -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-,
NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,
```

Amendments and Remarks
App. Ser. No. 10/091,759
Page 18 of 44

 $R_{35}$ ,  $R_{36}$ , and  $R_{37}$  are hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

 $R_{33}$  and  $R_{34}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl; and wherein

 $R_{33}$  and  $R_{34}$  may be taken together to form a ring having the formula  $-(CH_2)_e$ -J- $(CH_2)_k$ -bonded to the nitrogen atom to which  $R_{33}$  and  $R_{34}$  are attached, wherein e and k are, independently, 1, 2, 3, or 4; J is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O-C(O)-,  $-NHSO_2NH$ -,

 $R_{38}$  and  $R_{39}$  is hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl.

4. (Previously Presented) The compound of claim 1, represented by Formula (Ic):

$$G_{2} \xrightarrow{R_{1}} G_{1} \xrightarrow{N} R_{4}$$

$$(Ic)$$

wherein,

 $R_1$  is hydrogen, or  $C_{1-3}$  alkylaryl wherein the aryl is substituted with -Y-C-<sub>1-6</sub> alkylaryl;

R<sub>2</sub> is C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C-<sub>1-6</sub> alkylaryl,

wherein Y is  $-CH_2$ -, -O-, -N(H), -S-,  $SO_2$ -, -CON(H)-, -NHC(O)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-,  $-NHSO_2NH$ -, -O-CO-,

 $R_{17}$ , and  $R_{18}$  independently is hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl.

5. (Previously Presented) The compound of claim 1, represented by Formula (Id):

$$G_{2} \xrightarrow{R_{1}} G_{1} \xrightarrow{N} R_{4}$$

$$(Id)$$

wherein,

 $R_1$  is hydrogen, or  $C_{1-3}$  alkylaryl wherein the aryl is substituted with -Y-C-<sub>1-6</sub> alkylaryl;

 $R_2$  is  $C_{1-3}$  alkylaryl wherein the aryl is substituted with -Y-C-<sub>1-6</sub> alkylaryl;

wherein Y is -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

 $R_{17}$ , and  $R_{18}$  independently is hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl;

 $R_3$  is hydrogen or  $-L-C_{1-6}$ -alkyl-N(alkyl)<sub>2</sub>;

R<sub>14</sub> and R<sub>15</sub> are alkyl; and

wherein L is -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

 $R_{35}$ ,  $R_{36}$ , and  $R_{37}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl.

6. (Previously Presented) The compound of claim 1, represented by Formula (Ie):

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 21 of 44

$$G_{2} \xrightarrow{R_{1}} \begin{matrix} O \\ N - R_{2} \end{matrix}$$

$$\begin{matrix} N - R_{4} \end{matrix}$$

$$\begin{matrix} Ie \end{matrix}$$

wherein,

G<sub>1</sub> is a direct bond;

G<sub>2</sub> is a group of the formula

wherein

R<sub>9</sub>, R<sub>10</sub>, and R<sub>11</sub> may be hydrogen; or

 $R_9$ ,  $R_{10}$ , and  $R_{11}$  independently are

- i)  $-C_{1-6}$  alkyl;
- ii) -aryl;
- iii) -C<sub>1-6</sub> alkylaryl;
- iv)  $-C(O)-O-C_{1-6}$  alkyl;
- v)  $-C(O)-O-C_{1-6}$  alkylaryl;
- vi)  $-C(O)-NH-C_{1-6}$  alkyl;

- vii) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- viii) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- ix)  $-SO_2-C_{1-6}$  alkylaryl;
- x)  $-SO_2$ -aryl;
- xi)  $-SO_2$ -NH-C<sub>1-6</sub> alkyl;
- xii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- xiii)  $-C(O)-C_{1-6}$  alkyl; or
- xiv)  $-C(O)-C_{1-6}$  alkylaryl; or

 $R_{10}$  and  $R_{11}$  may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which  $R_{10}$  and  $R_{11}$  are bonded;

### $R_1$ is H;

#### R<sub>2</sub> is

- a)  $-C_{1-6}$  alkyl;
- b) -aryl; or
- c)  $-C_{1-6}$  alkylaryl;

## R<sub>3</sub> is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d)  $-C_{1-6}$  alkoxyaryl;

the aryl and/or alkyl group(s) in  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 23 of 44

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;
  - $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W independently are -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  are hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

 $R_{14}$  and  $R_{15}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl; and wherein

 $R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 24 of 44

 $R_{19}$  and  $R_{20}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl;

7. (Previously Presented) The compound of claim 1, represented by Formula (If):

$$G_{2} \xrightarrow[R_{2}]{R_{1}} N-R_{4}$$

$$(If)$$

wherein,

G<sub>1</sub> is a direct bond;

$$\begin{array}{ccc}
& R_5 \\
& \\
G_2 \text{ is}
\end{array}$$

R<sub>1</sub> is H;

R<sub>2</sub> is a group of the formula

$$Q_1$$
  $(CH_2)n$   $(CH_2)m$ 

wherein m and n are independently selected from 1, 2, 3, or 4; X is a direct bond,  $-CH_2$ , -O-, -S-,  $-S(O_2)$ -, -C(O)-, -C(O)-, -NHC(O)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

-Q<sub>1</sub>- is  $C_{1-6}$  alkylene,  $C_{2-6}$  alkenylene, or  $C_{2-6}$  alkynylene;

 $R_{12}$  and  $R_{13}$  independently is hydrogen,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl, or aryl; and wherein

R<sub>3</sub> is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c)  $-C_{1-6}$  alkylaryl; or
- d)  $-C_{1-6}$  alkoxyaryl;

R<sub>5</sub> and R<sub>6</sub> independently are

- a) -H;
- b)  $-C_{1-6}$  alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or

e) a group selected from  $-C(O)R_{25}$ ,  $-C(O)OR_{25}$ ,  $-C(O)NR_{26}R_{25}$ ,  $-S(O)_2R_{25}$ , and  $-S(O)_2NR_{26}R_{25}$ ; wherein  $R_{25}$  and  $R_{26}$  independently are  $-C_{1-6}$  alkyl, aryl, and  $-C_{1-6}$  alkylaryl;

the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>12</sub>, and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;
  - $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W independently are -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

R<sub>14</sub> and R<sub>15</sub> independently is hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

 $R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

 $R_{19}$  and  $R_{20}$  independently are hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl.

- 8. Canceled.
- 9. Canceled.
- 10. Canceled.
- 11. (Previously Presented) The compound of claim 1, wherein the compound is 3-(4-Benzyloxyphenyl)propionic Acid 2,4-Di-(3-Diethylamino-1-propoxy)aniline Amide.
- 12. (Previously Presented) The compound of claim 61, wherein the compound is 3-(3-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

- 13. (Previously Presented) The compound of claim 62, wherein the compound is 3-(3-Tert-butoxyphenyl)-3-aminopropionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.
- 14. (Previously Presented) The compound of claim 1, wherein the compound is 3-(4-Tetrahydropyranyl)-2-aminopropionic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide Dihydrochloride.
- 15. (Previously Presented) The compound of claim 1, wherein the compound is (2S, 4R)-4-Tert-Butoxypyrrolidine-2-carboxylic acid 2,4-Di(3-diethylamino-1-propoxy)aniline Amide.
- 16. (Previously Presented) The compound of claim 1, wherein the compound is (3S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide Dihydrochloride.
- 17. (Previously Presented) The compound of claim 1, wherein the compound is (R)-3-(4-Benzyloxyphenyl)-2-(1-imidazolyl)propionic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide.
- 18. (Previously Presented) The compound of claim 61, wherein the compound is 3-(4-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.
- 19. (Previously Presented) The compound of claim 62, wherein the compound is 3-amino-3-(4-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 29 of 44

- 20. (Previously Presented) The compound of claim 61, wherein the compound is 3-(9-fluorenylmethoxycarbonylamino)-3-(2-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.
- 21. (Previously Presented) The compound of claim 62, wherein the compound is 3-amino-3-(2-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.
- 22. (Previously Presented) The compound of claim 62, wherein the compound is 3-Isopropylamino-3-(3-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.
- 23. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N- benzylaniline Amide.
- 24. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N- cyclopentylmethylaniline Amide.
- 25. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N- isopropylaniline Amide.
- 26. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-cyclohexylmethylaniline Amide.

App. Ser. No. 10/091,759

Page 30 of 44

- 27. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-cyclopentylmethylaniline Amide.
- 28. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N- butylaniline Amide.
- 29. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-butylaniline Amide.
- 30. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 3-(3-diethylaminopropoxy)-N- butylaniline Amide.
- 31. (Previously Presented) The compound of claim 1, wherein the compound is (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 3-(3-diethylaminopropoxy)-N-butylaniline Amide.
- 32. (Previously Presented) The compound of claim 1, wherein the compound is 3-(1-Tert-butoxycarbonylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 33. (Previously Presented) The compound of claim 1, wherein the compound is 3-(Piperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 31 of 44

- 34. (Previously Presented) The compound of claim 1, wherein the compound is 3-(1-Benzylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 35. (Previously Presented) The compound of claim 1, wherein the compound is 3-(1-Benzylpiperidin-4-yl)-2-aminopropionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 36. (Previously Presented) The compound of claim 1, wherein the compound is 3-(1-Benzyloxycarbonylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonyamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 37. (Previously Presented) The compound of claim 1, wherein the compound is 3-(1-Benzoylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 38. (Previously Presented) The compound of claim 1, wherein the compound is 3-(1-Benzoylpiperidin-4-yl)-2-benzoylaminopropionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 39. (Previously Presented) The compound of claim 1, wherein the compound is 3-(Tert-butoxycarbonylpiperidin-3-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.
- 40. (Previously Presented) The compound of claim 1, wherein the compound is 3-(Piperidin-3-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 32 of 44

- 41. (Original) A pharmaceutical composition comprising the compound of Formula (I) as claimed in claim 1, and one or more pharmaceutically acceptable carriers, excipients, or diluents.
- 42. (Original) The pharmaceutical composition of claim 41, in the form of an oral dosage or parenteral dosage unit.
- 43. (Original) The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.01 to 500 mg/kg of body weight per day.
- 44. (Original) The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.1 to 200 mg/kg of body weight per day.
- 45. (Original) The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.1 to 100 mg/kg of body weight per day.
- 46. (Original) The pharmaceutical composition of claim 41, further comprising one or more therapeutic agents selected from the group consisting of alkylating agents, antimetabolites, plant alkaloids, antibiotics, hormones, biologic response modifiers, analgesics, NSAIDs, DMARDs, glucocorticoids, sulfonylureas, biguanides, insulin, cholinesterase inhibitors, antipsychotics, antidepressants, and anticonvulsants.
- 47. (Original) A method for the inhibition of the interaction of RAGE with its physiological ligands, which comprises administering to a subject in need thereof, at least one compound of Formula (I) as claimed in claim 1.

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 33 of 44

- 48. (Original) The method of claim 47, wherein the ligand(s) is(are) selected from advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE,  $\beta$ -amyloid and amphoterin.
- 49. (Original) A method for treating a disease state selected from the group consisting of acute and chronic inflammation, symptoms of diabetes, vascular permeability, nephropathy, atherosclerosis, retinopathy, Alzheimer's disease, erectile dysfunction, and tumor invasion and/or metastasis, which comprises administering to a subject in need thereof a therapeutically effective amount of at least one compound of Formula (I) as claimed in claim 1.
- 50. (Original) A method of prevention and/or treatment of RAGE mediated human diseases comprising administration to a human in need thereof a therapeutically effective amount of a compound of Formula (I) as claimed in claim 1, wherein a therapeutically effective amount comprises sufficient compound to at least partially inhibit the binding of a ligand to the RAGE receptor.
- 51. (Original) The method of claim 50, further comprising administering to a subject in need thereof at least one adjuvant and/or additional therapeutic agent(s).
- 52. (Original) A method of claim 51, wherein therapeutic agents selected from the group consisting of alkylating agents, antimetabolites, plant alkaloids, antibiotics, hormones, biologic response modifiers, analgesics, NSAIDs, DMARDs, glucocorticoids, sulfonylureas, biguanides, insulin, cholinesterase inhibitors, antipsychotics, antidepressants, and anticonvulsants.
- 53. (Previously Presented) The method of claim 50, wherein the RAGE mediated human disease comprises acute and/or chronic inflammation.

- 54. (Currently Amended) The method of claim 50, wherein the RAGE mediated human disease <u>comprises</u> <u>comprising</u> vascular permeability.
- 55. (Currently Amended) The method of claim 50, wherein the RAGE mediated human disease comprises comprises comprised ephropathy.
- 56. (Previously Presented) The method of claim 50, wherein the RAGE mediated human disease comprises atherosclerosis.
- 57. (Currently Amended) The method of claim 50, wherein the RAGE mediated human disease <u>comprises comprising</u> retinopathy.
- 58. (Currently Amended) The method of claim 50, wherein the RAGE mediated human disease comprises comprises comprises.
- 59. (Previously Presented) The method of claim 50, wherein the RAGE mediated human disease comprises erectile dysfunction.
- 60. (Previously Presented) The method of claim 50, wherein the RAGE mediated human disease comprises tumor invasion and/or metastasis.
- 61. (Previously presented) The compound of claim 1, wherein  $G_1$  is  $-CH_2$   $G_2$  is

$$R_6 \longrightarrow N \longrightarrow 0$$

R<sub>5</sub> and R<sub>6</sub> are independently selected from the group consisting of

- i) -H;
- ii)  $-C_{1-6}$  alkyl;
- iii) –aryl;
- iv)  $-C_{1-6}$  alkylaryl;
- v)  $-C(O)-O-C_{1-6}$  alkyl;
- vi)  $-C(O)-O-C_{1-6}$  alkylaryl;
- vii) -C(O)-O-C<sub>1-6</sub> alkylcycloalkylaryl;
- viii) -C(O)-NH-C<sub>1-6</sub> alkyl;
- ix)  $-C(O)-NH-C_{1-6}$  alkylaryl;
- x)  $-SO_2-C_{1-6}$  alkyl;
- xi)  $-SO_2-C_{1-6}$  alkylaryl;
- xii) -SO<sub>2</sub>-aryl;
- xiii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- xiv) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;

- xvi)  $-C(O)-C_{1-6}$  alkyl; or
- xvii) -C(O)-C<sub>1-6</sub> alkylaryl;

R<sub>1</sub> is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -aryl; or
- d) -C<sub>1-6</sub> alkylaryl;

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 36 of 44

- a)  $-C_{1-6}$  alkyl;
- b) -aryl;
- c)  $-C_{1-6}$  alkylaryl; or
- d) a group of the formula

$$Q_1$$
  $(CH_2)n$   $(CH_2)m$ 

wherein m and n are independently selected from 1, 2, 3, or 4; X is a direct bond,  $CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -C(O)-, -NHC(O)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

-Q<sub>1</sub>- is  $C_{1-6}$  alkylene,  $C_{2-6}$  alkenylene, or  $C_{2-6}$  alkynylene;

 $R_3$  is

- a) hydrogen;
- b)  $-C_{1-6}$  alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;; and

R<sub>4</sub> is

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 37 of 44

b) 
$$-C_{1}-C_{6}-alkyl-O- \underbrace{\begin{array}{c} L-C_{1}-C_{6}-alkyl-N(alkyl)_{2} \\ L-C_{1}-C_{6}-alkyl-N(alkyl)_{2} \\ \end{array}}_{cor}$$

c) 
$$L-C_1-C_6$$
-alkyl-N(alkyl)<sub>2</sub>  $L-C_1-C_6$ -alkyl-N(alkyl)<sub>2</sub>

wherein L is  $-CH_2$ -, -O-, -N(H)-, -S-,  $SO_2$ -, -CON(H)-, -NHC(O)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-,  $-NHSO_2NH$ -, -O-CO-,

 $R_{36}$  and  $R_{37}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl;

 $R_{12}$  and  $R_{13}$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$  alkylaryl, and aryl;

 $R_7$  and  $R_8$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl, and aryl; or  $R_7$  and  $R_8$  are taken together to form a ring having the formula - $(CH_2)_{o'}$ -Z'- $(CH_2)_{p'}$ - bonded to the atoms to which  $R_7$  and  $R_8$  are attached, wherein o' and p' are, independently, 1, 2, 3, or 4; Z' is a direct bond, - $CH_2$ -, -O-, -S-, -

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 38 of 44

 $S(O_2)$ -, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -C(O)-,  $-NHSO_2NH$ -,

 $R_{40}$  and  $R_{41}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl, and  $C_1$ - $C_6$  alkylaryl; and

#### wherein

the aryl and/or alkyl group(s) in R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>12</sub> and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}-alkyl-NR_{14}R_{15};\\$
  - $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W are independently selected from the group consisting of -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 39 of 44

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl; and

c) halogen, hydroxyl, cyano, carbamoyl, and carboxyl; and

 $R_{14}$  and  $R_{15}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl, and  $C_1$ - $C_6$  alkylaryl; or

 $R_{14}$  and  $R_{15}$  are taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -C(O)-, -C(O)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O--C(O)-,  $-NHSO_2NH$ -,

 $R_{19}$  and  $R_{20}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkylaryl.

62. (Previously Presented) The compound of claim 61,

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 40 of 44

wherein

G<sub>1</sub> is -CH<sub>2</sub>-

G<sub>2</sub> is

wherein

R<sub>5</sub> is –H; and

R<sub>6</sub> is

- i) -H;
- ii)  $-C_{1-6}$  alkyl; or
- iii) -C(O)-O-C<sub>1-6</sub> alkylcycloalkylaryl;

 $R_1$  is -H;

 $R_2$  is

 $R_3$  is -H; and

R<sub>4</sub> is

a) 
$$-C_{1}-C_{6}-alkyl-\sqrt{-C_{1}-C_{6}-alkyl-N(alkyl)_{2}} \\ L-C_{1}-C_{6}-alkyl-N(alkyl)_{2}$$

b) 
$$-C_1-C_6$$
-alkyl $-O$   $-C_1-C_6$ -alkyl-N(alkyl)<sub>2</sub>  $+C_1-C_6$ -alkyl-N(alkyl)<sub>2</sub>; or

Express Mail No. EV 507 590 481 US Amendments and Remarks App. Ser. No. 10/091,759 Page 41 of 44

c) 
$$L-C_1-C_6$$
-alkyl-N(alkyl)<sub>2</sub>  $L-C_1-C_6$ -alkyl-N(alkyl)<sub>2</sub>

wherein L is -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

 $R_{36}$  and  $R_{37}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl;

#### and wherein

the aryl and/or alkyl group(s) in R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>12</sub> and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;
  - -Y-aryl;
  - -Y-C-1-6 alkylaryl;
  - $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;
  - $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

wherein Y and W are independently selected from the group consisting of -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, - CON(H)-, -NHC(O)-, -NHCON(H)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-,  $-NHSO_2NH$ -, -O-CO-,

 $R_{16}$ ,  $R_{17}$ , and  $R_{18}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, and  $C_1$ - $C_6$  alkoxyaryl; and

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

 $R_{14}$  and  $R_{15}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkyl, and  $C_1$ - $C_6$  alkylaryl; or

 $R_{14}$  and  $R_{15}$  are taken together to form a ring having the formula  $-(CH_2)_o$ -Z- $(CH_2)_p$ -bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z is a direct bond,  $-CH_2$ -, -O-, -S-,  $-S(O_2)$ -, -C(O)-, -C(O)-, -C(O)-, -NHC(O)-, -NHC(O)-,  $-NHSO_2$ -,  $-SO_2N(H)$ -, -C(O)-O-, -O-C(O)-,  $-NHSO_2NH$ -,

 $R_{19}$  and  $R_{20}$  are independently selected from the group consisting of hydrogen, aryl,  $C_1$ - $C_6$  alkylaryl.